

in which

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X

R<sup>1</sup> is (C<sub>1</sub>-C<sub>8</sub>)-alkyl, which can be substituted by one or more identical or different substituents chosen from hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkyl-S(O)<sub>m</sub>-, R<sup>5</sup>R<sup>6</sup>N and aryl; (C<sub>3</sub>-C<sub>9</sub>)-cycloalkyl, which can be substituted by one or more identical or different substituents chosen from (C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxyl and amino; or a radical of a 5-membered to 7-membered saturated heterocyclic ring with one or two identical or different hetero ring members chosen from O, NR<sup>7</sup> and S(O)<sub>m</sub> and that can be substituted by one or more identical or different substituents chosen from (C<sub>1</sub>-C<sub>4</sub>)-alkyl and aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl-; and

R<sup>2</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, which can be substituted by one or more identical or different substituents chosen from hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkyl-S(O)<sub>m</sub>-, R<sup>5</sup>R<sup>6</sup>N and aryl; (C<sub>3</sub>-C<sub>9</sub>)-cycloalkyl, which can be substituted by one or more identical or different substituents chosen from (C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxyl and amino; or the radical of a 5-membered to 7-membered saturated heterocyclic ring with one or two identical or different hetero ring members chosen from O, NR<sup>7</sup> and S(O)<sub>m</sub> and that can be substituted by one or more identical or different substituents chosen from (C<sub>1</sub>-C<sub>4</sub>)-alkyl and aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl-; or

R<sup>1</sup>R<sup>2</sup>N is a radical, bonded via a ring nitrogen atom, of a 5-membered to 7-membered saturated heterocyclic ring optionally with, in addition to the nitrogen atom carrying the radicals R<sup>1</sup> and R<sup>2</sup>, a further hetero ring

member chosen from O,  $\text{NR}^7$  and  $\text{S(O)}_m$  and that can be substituted by one or more identical or different substituents chosen from (C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy,  $\text{R}^8\text{R}^9\text{N}$ , hydroxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl and  $\text{R}^8\text{R}^9\text{N-CO-}$ ;

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 $\text{R}^3$  is phenyl, which can be substituted by one or more identical or different substituents chosen from halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, phenyl,  $\text{CF}_3$ ,  $\text{NO}_2$ , OH, -O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -O-(C<sub>2</sub>-C<sub>4</sub>)-alkyl-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>2</sub>)-alkylenedioxy,  $\text{NH}_2$ , -NH-(C<sub>1</sub>-C<sub>4</sub>)-alkyl,  $\text{N}((\text{C}_1\text{-C}_4)\text{-alkyl})_2$ , -NH-CHO, -NH-CO-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -CN, -CO-NH<sub>2</sub>, -CO-NH-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -CO-N((C<sub>1</sub>-C<sub>4</sub>)-alkyl)<sub>2</sub>, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -CHO and -CO-(C<sub>1</sub>-C<sub>4</sub>)-alkyl;

$\text{R}^4$  is (C<sub>2</sub>-C<sub>5</sub>)-alkyl, trifluoromethyl or phenyl, which can be substituted by one or more identical or different substituents chosen from halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, phenyl,  $\text{CF}_3$ ,  $\text{NO}_2$ , OH, -O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -O-(C<sub>2</sub>-C<sub>4</sub>)-alkyl-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>2</sub>)-alkylenedioxy,  $\text{NH}_2$ , -NH-(C<sub>1</sub>-C<sub>4</sub>)-alkyl,  $\text{N}((\text{C}_1\text{-C}_4)\text{-alkyl})_2$ , -NH-CHO, -NH-CO-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -CN, -CO-NH<sub>2</sub>, -CO-NH-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -CO-N((C<sub>1</sub>-C<sub>4</sub>)-alkyl)<sub>2</sub>, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -CHO and -CO-(C<sub>1</sub>-C<sub>4</sub>)-alkyl;

$\text{R}^5$  and  $\text{R}^6$  are identical or different radicals chosen from hydrogen and (C<sub>1</sub>-C<sub>4</sub>)-alkyl; or the group  $\text{R}^5\text{R}^6\text{N}$  is a radical, bonded via a ring nitrogen atom, of a 5-membered to 7-membered saturated or unsaturated heterocyclic ring optionally with, in addition to the nitrogen atom carrying the radicals  $\text{R}^5$  and  $\text{R}^6$ , a further hetero ring member chosen from an oxygen atom, a group  $\text{S(O)}_m$  and a nitrogen atom and that can carry on ring carbon atoms one or more identical or different substituents chosen from (C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxyl and amino and that can carry on a ring nitrogen atom a radical  $\text{R}^7$ ;

$\text{R}^7$  is hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl-, hydroxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxycarbonyl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl-, ((C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl)-(C<sub>1</sub>-C<sub>4</sub>)-alkyl,  $\text{R}^8\text{R}^9\text{N-CO-(C}_1\text{-C}_4\text{)-alkyl-}$ ,  $\text{R}^{10}\text{-SO}_2\text{-}$  or aryl; where  $\text{R}^7$ , if this group is

present on a piperazino radical representing  $R^1R^2N$ , cannot be carbocyclic aryl or carbocyclic aryl-( $C^1-C^4$ )-alkyl;

$R^8$  and  $R^9$  are identical or different radicals chosen from hydrogen and ( $C_1-C_4$ )-alkyl;

$R^{10}$  is ( $C_1-C_4$ )-alkyl, aryl or  $R^8R^9N$ ;

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aryl is phenyl, naphthyl or heteroaryl, all of which can be substituted by one or more identical or different substituents chosen from halogen, ( $C_1-C_4$ )-alkyl, phenyl,  $CF_3$ ,  $NO_2$ ,  $OH$ ,  $-O-(C_1-C_4)$ -alkyl,  $O-(C_2-C_4)$ -alkyl- $O-(C_1-C_4)$ -alkyl, ( $C_1-C_2$ )-alkylenedioxy,  $NH_2$ ,  $-NH-(C_1-C_4)$ -alkyl,  $-N((C_1-C_4)-alkyl)_2$ ,  $-NH-CHO$ ,  $-NH-CO-(C_1-C_4)$ -alkyl,  $-CN$ ,  $CO-NH_2$ ,  $-CO-NH-(C_1-C_4)$ -alkyl,  $-CO-N((C_1-C_4)-alkyl)_2$ ,  $-CO-OH$ ,  $-CO-O-(C_1-C_4)$ -alkyl,  $-CHO$  and  $-CO-(C_1-C_4)$ -alkyl;

heteroaryl is the radical of a monocyclic 5-membered or 6-membered aromatic heterocycle or of a bicyclic 8-membered to 10-membered aromatic heterocycle, each of which with one or more identical or different ring heteroatoms chosen from N, O and S;

m is 0, 1 or 2;

or a stereoisomeric form of a compound of formula I,

or a mixture of stereoisomeric forms of compounds of formula I in all ratios,

or a physiologically tolerable salt of a compound of formula I,

or a physiologically tolerable salt of a stereoisomeric form of a compound of formula I;

compounds of the formula I being excluded in which, simultaneously,  $R^4$  is ethyl, tert-butyl, or trifluoromethyl;  $R^3$  is phenyl, which can be substituted by one or two

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identical or different substituents chosen from halogen, OH, -O-R<sup>11</sup> and CF<sub>3</sub>,  
R<sup>1</sup>R<sup>2</sup>N is R<sup>11</sup> -NH-, (R<sup>11</sup>)<sub>2</sub>N- or R<sup>12</sup>R<sup>13</sup>N-(CH<sub>2</sub>)<sub>p</sub>-NH-; p is 2 or 3; R<sup>11</sup> is saturated  
unsubstituted (C<sub>1</sub>-C<sub>4</sub>)-alkyl; and R<sup>12</sup> and R<sup>13</sup> are identical or different radicals  
chosen from hydrogen and R<sup>11</sup> or the group R<sup>12</sup>R<sup>13</sup>N is a radical, bonded via a  
ring nitrogen atom, of a 5-membered or 6-membered saturated heterocyclic ring  
optionally with, in addition to the nitrogen atom carrying the radicals R<sup>12</sup> and R<sup>13</sup>,  
a further hetero ring member chosen from an oxygen atom, a sulfur atom and a  
nitrogen atom and that can be substituted by an aryl substituted by one or two  
identical or different substituents chosen from halogen, OH, -O-R<sup>11</sup>, and CF<sub>3</sub>.

2. A compound of claim 1, in which

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R<sup>1</sup> is (C<sub>1</sub>-C<sub>8</sub>)-alkyl, which can be substituted by one or more identical or different  
substituents, chosen from, hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkyl-S(O)<sub>m</sub>-,  
R<sup>5</sup>R<sup>6</sup>N and aryl; or is (C<sub>3</sub>-C<sub>9</sub>)-cycloalkyl, which can be substituted by one  
or more identical or different substituents chosen from (C<sub>1</sub>-C<sub>4</sub>)-alkyl,  
hydroxyl and amino; and

R<sup>2</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, which can be substituted by one or more identical  
or different substituents chosen from hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-  
alkyl-S(O)<sub>m</sub>-, R<sup>5</sup>R<sup>6</sup>N and aryl; or is (C<sub>3</sub>-C<sub>9</sub>)-cycloalkyl, which can be  
substituted by one or more identical or different substituents chosen from  
(C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxyl and amino; or

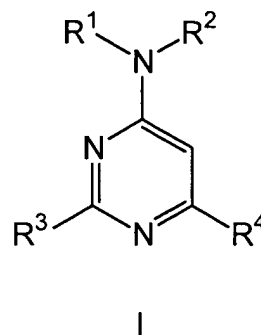
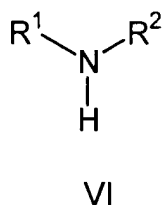
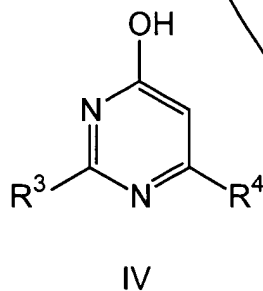
R<sup>1</sup>R<sup>2</sup>N is a radical, bonded via a ring nitrogen atom of a 5-membered, 6-  
membered or 7-membered saturated heterocyclic ring optionally with, in  
addition to the nitrogen atom carrying the radicals R<sup>1</sup> and R<sup>2</sup>, a further  
hetero ring member chosen from an oxygen atom, a group S(O)<sub>m</sub> and a  
nitrogen atom carrying a radical R<sup>7</sup> and that can be substituted by one or  
more identical or different substituents chosen from (C<sub>1</sub>-C<sub>4</sub>)-alkyl,  
hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, R<sup>8</sup>R<sup>9</sup>N, hydroxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl  
and R<sup>8</sup>R<sup>9</sup>N-CO.

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8. A process for the preparation of at least one compound of claim 1, which comprises activating a 4-hydroxypyrimidine of the formula IV and then reacting it with an amine of a formula VI to produce a compound of formula I,

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and optionally converting a compound of formula I into a pharmaceutically acceptable salt.

15. A process for the preparation of at least one compound of claim 5, which comprises activating a 4-hydroxypyrimidine of the formula IV and then reacting it with an amine of a formula VI;

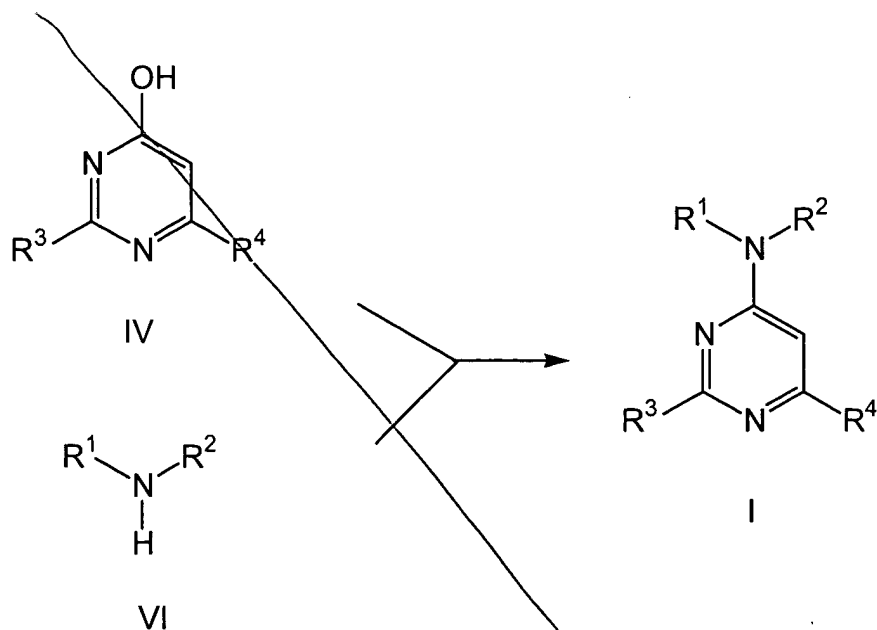
D4

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E1

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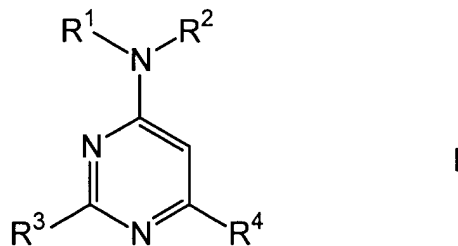
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and optionally converting the resulting product into a pharmaceutically acceptable salt.

22. A method of treating a cardiovascular disorder associated with low cGMP levels, or a disorder for whose therapy or prophylaxis an increase in the cGMP levels is desired, comprising administering to a patient in need thereof an effective amount of at least one compound of formula I,

DS



in which

$R^1$  is  $(C_1-C_8)$ -alkyl, which can be substituted by one or more identical or different substituents chosen from hydroxyl,  $(C_1-C_4)$ -alkoxy,  $(C_1-C_4)$ -alkyl-S(O)<sub>m</sub>-,  $R^5R^6N$  and aryl;  $(C_3-C_9)$ -cycloalkyl, which can be substituted by one or

more identical or different substituents chosen from (C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxyl and amino; or a radical of a 5-membered to 7-membered saturated heterocyclic ring with one or two identical or different hetero ring members chosen from O, NR<sup>7</sup> and S(O)<sub>m</sub> and that can be substituted by one or more identical or different substituents chosen from (C<sub>1</sub>-C<sub>4</sub>)-alkyl and aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl-; and

DS R<sup>2</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, which can be substituted by one or more identical or different substituents chosen from hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkyl-S(O)<sub>m</sub>-, R<sup>5</sup>R<sup>6</sup>N and aryl; (C<sub>3</sub>-C<sub>9</sub>)-cycloalkyl, which can be substituted by one or more identical or different substituents chosen from (C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxyl and amino; or the radical of a 5-membered to 7-membered saturated heterocyclic ring with one or two identical or different hetero ring members chosen from O, NR<sup>7</sup> and S(O)<sub>m</sub> and that can be substituted by one or more identical or different substituents chosen from (C<sub>1</sub>-C<sub>4</sub>)-alkyl and aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl-; or

R<sup>1</sup>R<sup>2</sup>N is a radical, bonded via a ring nitrogen atom, of a 5-membered to 7-membered saturated heterocyclic ring optionally with, in addition to the nitrogen atom carrying the radicals R<sup>1</sup> and R<sup>2</sup>, a further hetero ring member chosen from O, NR<sup>7</sup> and S(O)<sub>m</sub> and that can be substituted by one or more identical or different substituents chosen from (C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, R<sup>8</sup>R<sup>9</sup>N, hydroxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl and R<sup>8</sup>R<sup>9</sup>N-CO-;

R<sup>3</sup> is phenyl, which can be substituted by one or more identical or different substituents chosen from halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, phenyl, CF<sub>3</sub>, NO<sub>2</sub>, OH, -O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -O-(C<sub>2</sub>-C<sub>4</sub>)-alkyl-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>2</sub>)-alkylenedioxy, NH<sub>2</sub>, -NH-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, N((C<sub>1</sub>-C<sub>4</sub>)-alkyl)<sub>2</sub>, -NH-CHO, -NH-CO-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -CN, -CO-NH<sub>2</sub>, -CO-NH-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -CO-N((C<sub>1</sub>-C<sub>4</sub>)-alkyl)<sub>2</sub>, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -CHO and -CO-(C<sub>1</sub>-C<sub>4</sub>)-alkyl;

R<sup>4</sup> is (C<sub>2</sub>-C<sub>5</sub>)-alkyl, trifluoromethyl or phenyl, which can be substituted by one or more identical or different substituents chosen from halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, phenyl, CF<sub>3</sub>, NO<sub>2</sub>, OH, -O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -O-(C<sub>2</sub>-C<sub>4</sub>)-alkyl-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>2</sub>)-alkylenedioxy, NH<sub>2</sub>, -NH-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, N((C<sub>1</sub>-C<sub>4</sub>)-alkyl)<sub>2</sub>, -NH-CHO, -NH-CO-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -CN, -CO-NH<sub>2</sub>, -CO-NH-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -CO-N((C<sub>1</sub>-C<sub>4</sub>)-alkyl)<sub>2</sub>, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -CHO and -CO-(C<sub>1</sub>-C<sub>4</sub>)-alkyl;

05 R<sup>5</sup> and R<sup>6</sup> are identical or different radicals chosen from hydrogen and (C<sub>1</sub>-C<sub>4</sub>)-alkyl; or the group R<sup>5</sup>R<sup>6</sup>N is a radical, bonded via a ring nitrogen atom, of a 5-membered to 7-membered saturated or unsaturated heterocyclic ring optionally with, in addition to the nitrogen atom carrying the radicals R<sup>5</sup> and R<sup>6</sup>, a further hetero ring member chosen from an oxygen atom, a group S(O)<sub>m</sub> and a nitrogen atom and that can carry on ring carbon atoms one or more identical or different substituents chosen from (C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxyl and amino and that can carry on a ring nitrogen atom a radical R<sup>7</sup>;

R<sup>7</sup> is hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl-, hydroxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, hydroxycarbonyl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl-, ((C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl)-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, R<sup>8</sup>R<sup>9</sup>N-CO-(C<sub>1</sub>-C<sub>4</sub>)-alkyl-, R<sup>10</sup>-SO<sub>2</sub>- or aryl; where R<sup>7</sup>, if this group is present on a piperazino radical representing R<sup>1</sup>R<sup>2</sup>N, cannot be carbocyclic aryl or carbocyclic aryl-(C<sup>1</sup>-C<sup>4</sup>)-alkyl;

R<sup>8</sup> and R<sup>9</sup> are identical or different radicals chosen from hydrogen and (C<sub>1</sub>-C<sub>4</sub>)-alkyl;

R<sup>10</sup> is (C<sub>1</sub>-C<sub>4</sub>)-alkyl, aryl or R<sup>8</sup>R<sup>9</sup>N;

aryl is phenyl, naphthyl or heteroaryl, all of which can be substituted by one or more identical or different substituents chosen from halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, phenyl, CF<sub>3</sub>, NO<sub>2</sub>, OH, -O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>4</sub>)-alkyl-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>2</sub>)-alkylenedioxy, NH<sub>2</sub>, -NH-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -N((C<sub>1</sub>-C<sub>4</sub>)-alkyl)<sub>2</sub>, -NH-



CHO, -NH-CO-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -CN, CO-NH<sub>2</sub>, -CO-NH-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -CO-N((C<sub>1</sub>-C<sub>4</sub>)-alkyl)<sub>2</sub>, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, -CHO and -CO-(C<sub>1</sub>-C<sub>4</sub>)-alkyl;

heteroaryl is the radical of a monocyclic 5-membered or 6-membered aromatic heterocycle or of a bicyclic 8-membered to 10-membered aromatic heterocycle, each of which with one or more identical or different ring heteroatoms chosen from N, O and S;

m is 0, 1 or 2;

or a stereoisomeric form of a compound of formula I,

or a mixture of stereoisomeric forms of compounds of formula I in all ratios,

or a physiologically tolerable salt of a compound of formula I,

or a physiologically tolerable salt of a stereoisomeric form of a compound of formula I.

## REMARKS

### I. Status of the claims

After entering this amendment, claims 1-8, 11-15, and 18-23 are pending in this application. Claims 10 and 17 have been cancelled with the sole purpose of expediting prosecution. Applicants reserve the right to pursue protection for the subject matter of claims 10 and 17 in a continuation application or at a later stage of prosecution. Claims 1, 2, 8, and 15 have been amended to more clearly define the invention. Support for these amendments can be found in originally-filed claims 1, 2, 8, and 15. Claim 22 has been amended to more clearly define the invention. Support for this amendment can be